12/10/03

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1		Web Page URLs for STN Seminar Schedule - N. America
NEWS 2		"Ask CAS" for self-help around the clock
NEWS 3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS 4	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS 5	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS 6	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS 7	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS 8	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS 9	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS 10	SEP 22	DIPPR file reloaded
NEWS 11	DEC 08	INPADOC: Legal Status data reloaded
NEWS 12	SEP 29	DISSABS now available on STN
NEWS 13	OCT 10	PCTFULL: Two new display fields added
NEWS 14	OCT 21	BIOSIS file reloaded and enhanced
NEWS 15	OCT 28	BIOSIS file segment of TOXCENTER reloaded and enhanced
NEWS 16	NOV 24	MSDS-CCOHS file reloaded
NEWS 17	DEC 08	CABA reloaded with left truncation
NEWS 18	DEC 08	IMS file names changed
NEWS 19	DEC 09	Experimental property data collected by CAS now available in REGISTRY
NEWS 20	DEC 09	STN Entry Date available for display in REGISTRY and CA/CAplus

NEWS EXPRESS	NOVEMBER 14 CURRENT WINDOWS VERSION IS V6.01c, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that specific topic.

12/10/03

* * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 16:44:59 ON 10 DEC 2003

=> file registry
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 16:45:06 ON 10 DEC 2003
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 9 DEC 2003 HIGHEST RN 625365-36-8
DICTIONARY FILE UPDATES: 9 DEC 2003 HIGHEST RN 625365-36-8

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when
conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. For more
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to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

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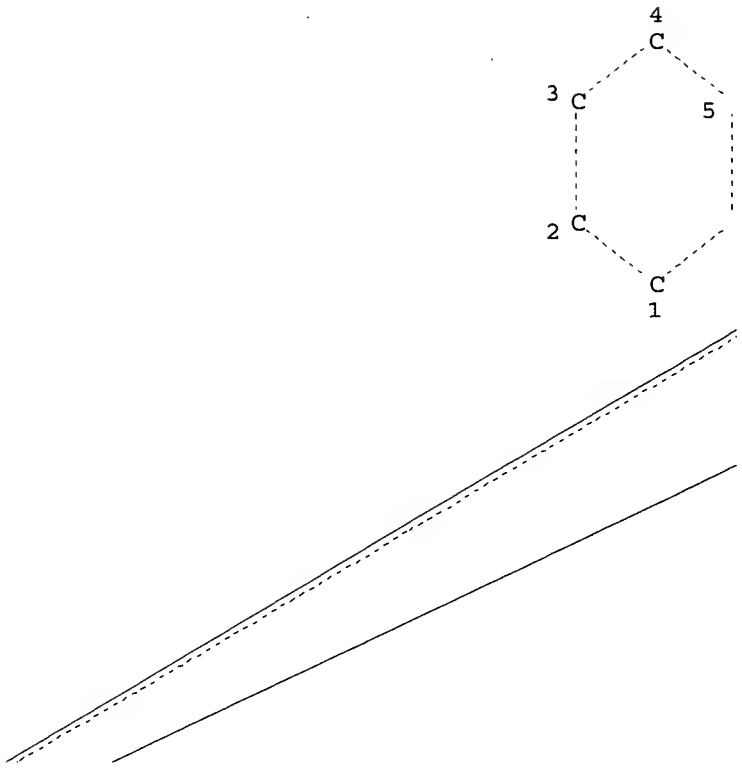
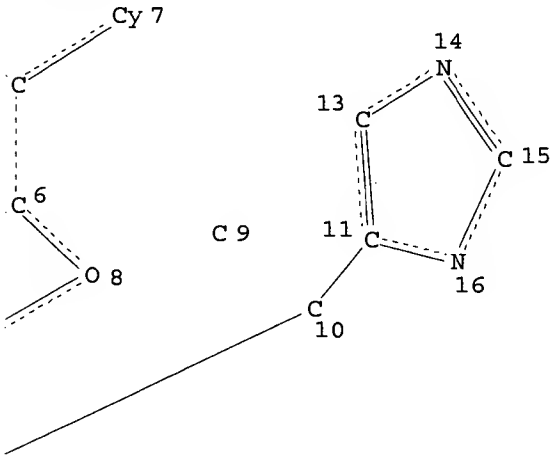
L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



Page 1-A



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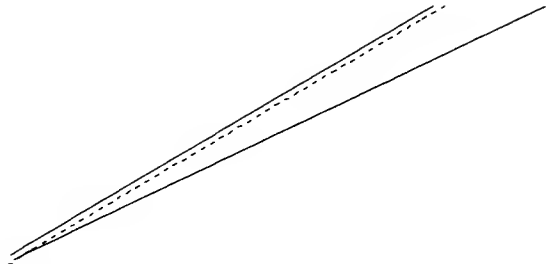
12/10/03



G20
12

Page 2-A
REP G20=(0-10) 9-8 9-10
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NSPEC IS R AT 2
NSPEC IS R AT 3
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NSPEC IS R AT 11
NSPEC IS C AT 12
NSPEC IS R AT 13
NSPEC IS R AT 14
NSPEC IS R AT 15
NSPEC IS R AT 16
DEFAULT MLEVEL IS ATOM
MLEVEL IS CLASS AT 8 9 10
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 16

STEREO ATTRIBUTES: NONE

=> s l1
SAMPLE SEARCH INITIATED 16:45:45 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 316 TO ITERATE

100.0% PROCESSED 316 ITERATIONS 2 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 5254 TO 7386
PROJECTED ANSWERS: 2 TO 124

L2 2 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 16:45:50 FILE 'REGISTRY'

12/10/03

FULL SCREEN SEARCH COMPLETED - 5871 TO ITERATE

100.0% PROCESSED 5871 ITERATIONS 37 ANSWERS
SEARCH TIME: 00.00.01

L3 37 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 148.15 148.36

FILE 'CAPLUS' ENTERED AT 16:45:55 ON 10 DEC 2003
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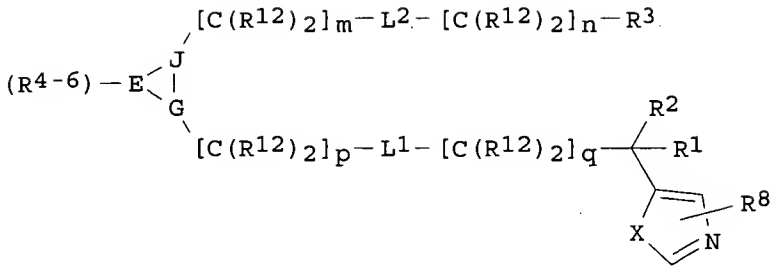
FILE COVERS 1907 - 10 Dec 2003 VOL 139 ISS 24
FILE LAST UPDATED: 9 Dec 2003 (20031209/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 8 L3

=> d abs bib fhitstr 1-8

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
GI



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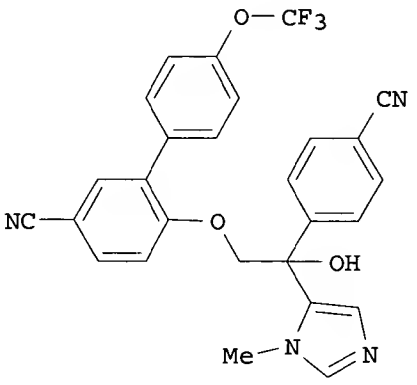


II

AB Substituted imidazoles and thiazoles I and their therapeutically acceptable salts are useful for inhibiting farnesyltransferase [wherein E = 5-, 6-, or 7-membered (non)arom. carbocyclic ring with 0-3 atoms optionally replaced by N; J, G = C, N, with proviso that when 1 of J and G = N, the other = C; L1, L2 = bond, C2-alkenylene, C2-alkynylene, O, NR9, C(O), S, S(O), SO2, SO2NR9, NR9SO2, C(O)NR9, NR9C(O), and CO2; X = S, NR7; R1 = aryl, arylalkyl, heterocyclyl, heterocyclylalkyl; R2 = H, alkoxy, alkyl, amino, aminoalkyl, cyano, cyanoalkyl, cycloalkyl, cycloalkylalkyl, halo, haloalkyl, heterocyclyl, heterocyclylalkyl, OH, hydroxyalkyl; R3 = aryl, heterocyclyl, cycloalkyl; R4-6 = H, NR9C(O), C(O)NR9, alkanoyl, alkenyl, alkoxy, alkoxyalkyl, alkyl, alkylsulfonyl, alkynyl, amido, amino, aminoalkyl, aminosulfonyl, aryl, arylalkyl, aryloxy, arylsulfonyl, N3, CO2H, cyano, cyanoalkyl, cycloalkyl, cycloalkylalkyl, halo, haloalkoxy, haloalkyl, heterocyclyl, heterocyclylalkyl, OH, hydroxyalkyl, NO2, nitroalkyl, oxo, thio(oxo); R7 = H, alkyl, aryl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, and trialkylsilyl; R8 = undefined; R9 = H, alkoxyalkyl, alkyl, amidoalkyl, aminoalkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, carboxyalkyl, heterocyclyl, heterocyclylalkyl, hydroxyalkyl, and N-protecting group; R12 = H, alkoxy, alkyl, amino, halo, OH; m, n, p, q = 0, 1, 2, 3 or 4]. Also disclosed are farnesyltransferase-inhibiting compns. and methods of inhibiting farnesyltransferase in a patient. Over 90 compds. were prepd., claimed, and tested, and numerous intermediates were prepd. For instance, Et (4-cyanophenyl)oxoacetate was transesterified with (1R,2S,5R)-(-)-menthol, and the resultant keto ester was stereoselectively arylated at carbonyl by the iodozinc deriv. of 5-iodo-1-methyl-1H-imidazole with 97.4% diastereomeric excess. Menthol was cleaved by redn. of the ester with LiBH4, and the obtained diol (single enantiomer) underwent aryl fluoride etherification of the primary alc. with 4-fluoro-3-bromobenzonitrile, followed by Pd-catalyzed coupling of the bromide with 4-CF3OC6H4B(OH)2, to give title compd. (S)-II. This compd. inhibited rat brain

farnesyltransferase in vitro with 90% inhibition at 10-9 M, vs. 97% by (.+-.)-II at 10-8 M.

AN 2003:355844 CAPLUS

DN 138:368890

TI Imidazole and thiazole derivatives of benzonitrile as farnesyltransferase inhibitors, and their preparation and use for the treatment of cancer.

IN Claiborne, Akiyo K.; Gwaltney, Stephen L.; Hasvold, Lisa A.; Li, Qun; Li, Tongmei; Lin, Nan-Horng; Mantei, Robert A.; Rockway, Todd W.; Sham, Hing L.; Sullivan, Gerard M.; Tong, Yunsong; Wang, Gary; Wang, Le; Wang, Xilu; Wang, Wei-Bo

PA USA

SO U.S. Pat. Appl. Publ., 48 pp.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

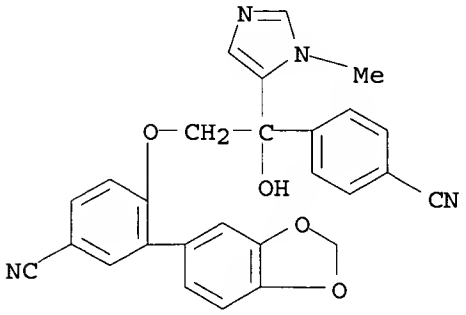
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003087940	A1	20030508	US 2001-997323	20011130
PRAI US 2000-250207P	P	20001130		
US 2001-307798P	P	20010725		

OS MARPAT 138:368890

IT **450837-81-7P**, 3-(1,3-Benzodioxol-5-yl)-4-[2-(4-cyanophenyl)-2-hydroxy-2-(1-methyl-1H-imidazol-5-yl)ethoxy]benzonitrile
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of imidazole and thiazole derivs. of benzonitrile as farnesyltransferase inhibitors for treatment of cancer)

RN 450837-81-7 CAPLUS

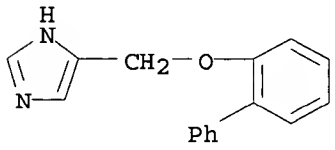
CN Benzonitrile, 3-(1,3-benzodioxol-5-yl)-4-[2-(4-cyanophenyl)-2-hydroxy-2-(1-methyl-1H-imidazol-5-yl)ethoxy]- (9CI) (CA INDEX NAME)



L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

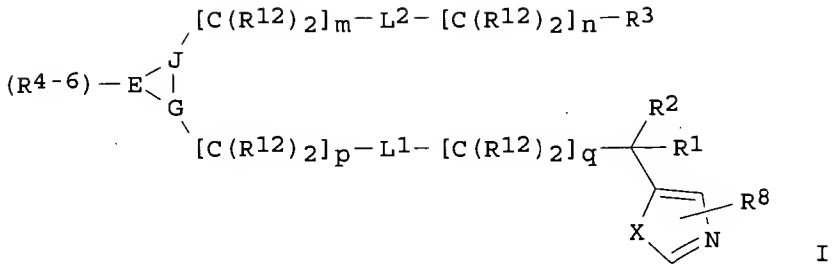
AB Literature reports suggest that disruption of an interhelical salt bridge is crit. for .alpha.1-adrenoceptor activation, and the basic amine found in adrenergic receptor ligands is responsible for the disruption. Novel 4-(anilinomethyl)imidazoles and 4-(phenoxymethyl)imidazoles are agonists of the cloned human .alpha.1-adrenoceptors in vitro, and potent, selective .alpha.1A-adrenoceptor agonists have been identified in this series. These imidazoles demonstrate similar potencies and .alpha.1-subtype selectivities as the corresponding 2-substituted imidazolines. The extremely close SAR suggests that, in spite of the large difference in basicity, these imidazoles and imidazolines may establish the same

interactions to activate .alpha.1-adrenoceptors.
AN 2002:846218 CAPLUS
DN 138:378557
TI .alpha.1-Adrenoceptor activation: a comparison of 4-(anilinomethyl)imidazoles and 4-(phenoxymethyl)imidazoles to related 2-imidazolines
AU Hodson, Stephen J.; Bigham, Eric C.; Garrison, Deanna T.; Gobel, Michael J.; Irving, Paul E.; Liacos, James A.; Navas, Frank; Saussy, David L.; Sherman, Bryan W.; Speake, Jason D.; Bishop, Michael J.
CS GlaxoSmithKline Research and Development, Research Triangle Park, NC, 27709, USA
SO Bioorganic & Medicinal Chemistry Letters (2002), 12(23), 3449-3452
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 138:378557
IT **527696-96-4P**
RL: PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(.alpha.1-adrenoceptor activation: comparison of anilinomethyl and phenoxymethyl imidazoles to related 2-imidazolines)
RN 527696-96-4 CAPLUS
CN 1H-Imidazole, 4-[([1,1'-biphenyl]-2-yloxy)methyl]- (9CI) (CA INDEX NAME)



RE.CNT 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
GI



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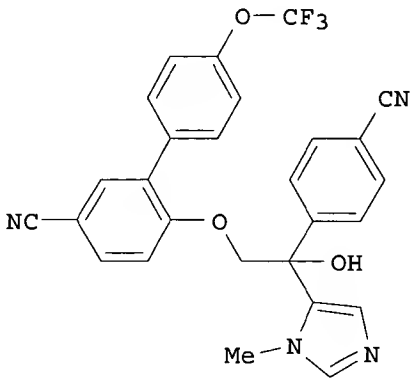


II

AB Substituted imidazoles and thiazoles I and their therapeutically acceptable salts are useful for inhibiting farnesyltransferase [wherein E = 5-, 6-, or 7-membered (non)arom. carbocyclic ring with 0-3 atoms optionally replaced by N; J, G = C, N, with proviso that when 1 of J and G = N, the other = C; L1, L2 = bond, C2-alkenylene, C2-alkynylene, O, NR9, C(O), S, S(O), SO2, SO2NR9, NR9SO2, C(O)NR9, NR9C(O), and CO2; X = S, NR7; R1 = aryl, arylalkyl, heterocyclyl, heterocyclylalkyl; R2 = H, alkoxy, alkyl, amino, aminoalkyl, cyano, cyanoalkyl, cycloalkyl, cycloalkylalkyl, halo, haloalkyl, heterocyclyl, heterocyclylalkyl, OH, hydroxyalkyl; R3 = aryl, heterocyclyl, cycloalkyl; R4-6 = H, NR9C(O), C(O)NR9, alkanoyl, alkenyl, alkoxy, alkoxyalkyl, alkyl, alkylsulfonyl, alkynyl, amido, amino, aminoalkyl, aminosulfonyl, aryl, arylalkyl, aryloxy, arylsulfonyl, N3, CO2H, cyano, cyanoalkyl, cycloalkyl, cycloalkylalkyl, halo, haloalkoxy, haloalkyl, heterocyclyl, heterocyclylalkyl, OH, hydroxyalkyl, NO2, nitroalkyl, oxo, thio(oxo); R7 = H, alkyl, aryl, cycloalkyl, cycloalkylalkyl, heterocyclyl, heterocyclylalkyl, and trialkylsilyl; R8 = undefined; R9 = H, alkoxyalkyl, alkyl, amidoalkyl, aminoalkyl, aryl, arylalkyl, cycloalkyl, cycloalkylalkyl, carboxyalkyl, heterocyclyl, heterocyclylalkyl, hydroxyalkyl, and N-protecting group; R12 = H, alkoxy, alkyl, amino, halo, OH; m, n, p, q = 0, 1, 2, 3 or 4]. Also disclosed are farnesyltransferase-inhibiting compns. and methods of inhibiting farnesyltransferase in a patient. Over 90 compds. were prepd., claimed, and tested, and numerous intermediates were prepd. For instance, Et (4-cyanophenyl)oxoacetate was transesterified with (1R,2S,5R)-(-)-menthol, and the resultant keto ester was stereoselectively arylated at carbonyl by the iodozinc deriv. of 5-iodo-1-methyl-1H-imidazole with 97.4% diastereomeric excess. Menthol was cleaved by redn. of the ester with LiBH4, and the obtained diol (single enantiomer) underwent aryl fluoride etherification of the primary alc. with 4-fluoro-3-bromobenzonitrile, followed by Pd-catalyzed coupling of the bromide with 4-CF3OC6H4B(OH)2, to give title compd. (S)-II. This compd. inhibited rat brain

farnesyltransferase in vitro with 90% inhibition at 10-9 M, vs. 97% by (.+-.)-II at 10-8 M.

AN 2002:638284 CAPLUS

DN 137:185485

TI Imidazole and thiazole derivatives of benzonitrile as farnesyltransferase inhibitors, and their preparation and use for the treatment of cancer.

IN Claiborne, Akiyo K.; Gwaltney, Stephen L.; Hasvold, Lisa A.; Li, Qun; Li, Tongmei; Lin, Nan-horng; Mantei, Robert A.; Rockway, Todd W.; Sham, Hing L.; Sullivan, Gerard M.; Tong, Yunsong; Wang, Gary; Wang, Le; Wang, Xilu; Wang, Wei-bo

PA USA

SO U.S. Pat. Appl. Publ., 48 pp., Cont.-in-part of U.S. Ser. No. 727,230, abandoned.
CODEN: USXXCO

DT Patent

LA English

FAN.CNT 1

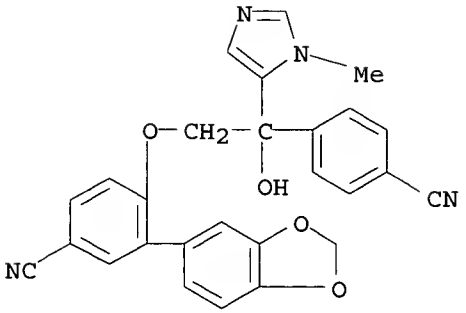
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002115640	A1	20020822	US 2001-912677	20010725
	WO 2002074747	A1	20020926	WO 2001-US43168	20011130
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	EP 1339695	A1	20030903	EP 2001-273519	20011130
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	NO 2003002471	A	20030725	NO 2003-2471	20030530
PRAI	US 2000-727230	B2	20001130		
	US 2001-912677	A	20010725		
	WO 2001-US43168	W	20011130		

OS MARPAT 137:185485

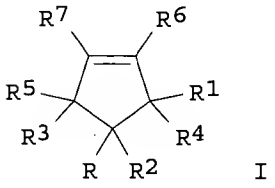
IT **450837-81-7P**, 3-(1,3-Benzodioxol-5-yl)-4-[2-(4-cyanophenyl)-2-hydroxy-2-(1-methyl-1H-imidazol-5-yl)ethoxy]benzonitrile
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of imidazole and thiazole derivs. of benzonitrile as farnesyltransferase inhibitors for treatment of cancer)

RN 450837-81-7 CAPLUS

CN Benzonitrile, 3-(1,3-benzodioxol-5-yl)-4-[2-(4-cyanophenyl)-2-hydroxy-2-(1-methyl-1H-imidazol-5-yl)ethoxy]- (9CI) (CA INDEX NAME)



L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
GI



I

AB Title compds. [I; R = CHO, CONH2, alkoxycarbonyl, etc.; R1, R2, R3 = H, OH, alkyl; R1R2, R2R3 = bond; R4, R5 = (un)substituted Ph, -thienyl, -pyridyl, etc.; R6R7 = atoms to complete an (un)substituted heteroarom. ring] were prepd. Thus, (5RS,6SR,7SR)-6-carboxy-5-(3,4-methylenedioxyphenyl)-7-(4-methoxyphenyl)cyclopenteno[1,2-b]pyridine (II) was prepd. in 5 steps starting from pyridine-2,3-dicarboxylic anhydride and 4-(MeO)C6H4MgBr. Data for biol. activity of II were given.

AN 1998:98047 CAPLUS

DN 128:154014

TI Preparation of fused heteroaromatic cyclopentene derivatives as endothelin antagonists

IN Ishikawa, Kiyofumi; Nagase, Toshio; Mase, Toshiaki; Hayama, Takashi; Ihara, Masaki; Nishikibe, Masaru; Yano, Mitsuo

PA Banyu Pharmaceutical Co., Ltd., Japan

SO U.S., 53 pp., Cont.-in-part of U.S. Ser. No. 296,410, abandoned
CODEN: USXXAM

DT Patent

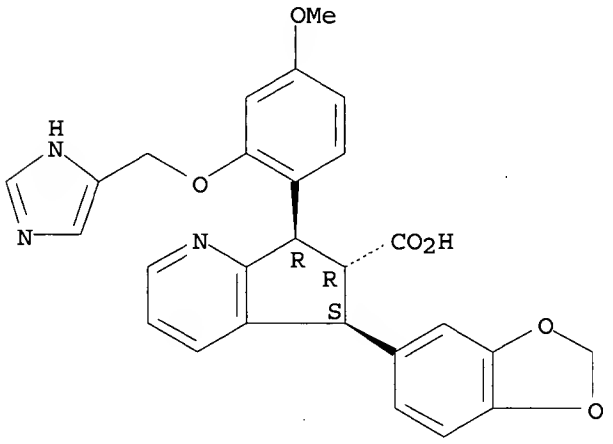
LA English

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5714479	A	19980203	US 1996-596143	19960220
	US 5389620	A	19950214	US 1993-165880	19931214
	WO 9505374	A1	19950223	WO 1994-JP1357	19940816
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	CN 1129448	A	19960821	CN 1994-193138	19940816
	CN 1049219	B	20000209		
PRAI	JP 1993-225100	A	19930818		
	JP 1993-281613	A	19931015		
	JP 1993-285677	A	19931021		

US 1993-165880 A1 19931214
JP 1994-85914 A 19940330
WO 1994-JP1357 W 19940816
US 1994-296410 B2 19940826
OS MARPAT 128:154014
IT **169745-21-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused heteroarom. cyclopentene derivs. as endothelin antagonists)
RN 169745-21-5 CAPLUS
CN 5H-Cyclopenta[b]pyridine-6-carboxylic acid, 5-(1,3-benzodioxol-5-yl)-6,7-dihydro-7-[2-(1H-imidazol-4-ylmethoxy)-4-methoxyphenyl]-, (5.alpha.,6.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
AB Endothelin antagonists are used for the prevention and/or treatment of heart failure and ventricular dysfunction. Sepn. of (+)-(5S*,6R*,7R*)-2-butyl-6-carboxy-7-[2-(2-carboxypropyl)4-methoxyphenyl]-5-(3,4-methylenedioxyphenyl)cyclopenteno[1,2-b]pyridine (I) from the (-) isomer was accomplished by HPLC. I was tested in an animal heart-failure model.
AN 1997:684286 CAPLUS
DN 127:341796
TI Method of treating heart failure and ventricular dysfunction with endothelin antagonists
IN Lynch, Joseph J., Jr.; Shen, You-Tang
PA Banyu Pharmaceutical Co., Ltd., Japan; Lynch, Joseph J., Jr.; Shen, You-Tang
SO PCT Int. Appl., 103 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9737665	A1	19971016	WO 1997-US3737	19970319

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

CA 2249330	AA	19971016	CA 1997-2249330	19970319
AU 9722025	A1	19971029	AU 1997-22025	19970319
AU 723351	B2	20000824		
EP 906108	A1	19990407	EP 1997-914955	19970319
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI, RO				
CN 1215335	A	19990428	CN 1997-193645	19970319
BR 9708525	A	19990803	BR 1997-8525	19970319
NZ 331789	A	20000526	NZ 1997-331789	19970319
JP 2000510824	T2	20000822	JP 1997-536194	19970319
JP 3345891	B2	20021118		
JP 2002220337	A2	20020809	JP 2001-374870	19970319
NO 9804564	A	19981204	NO 1998-4564	19980930
KR 2000005178	A	20000125	KR 1998-707850	19981001
AU 757071	B2	20030130	AU 2000-71740	20001122
PRAI US 1996-14882P	P	19960404		
AU 1997-22025	A3	19970319		
JP 1997-536194	A3	19970319		
WO 1997-US3737	W	19970319		

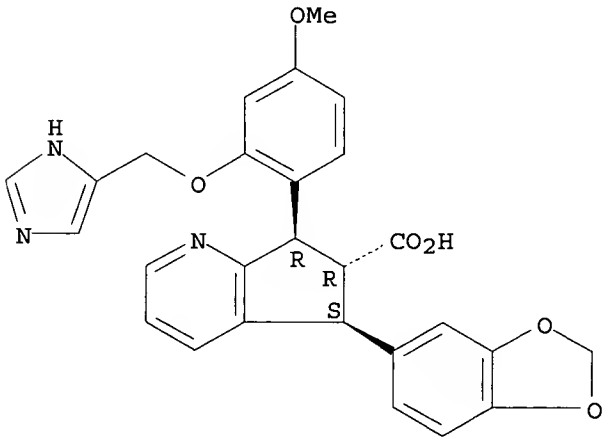
OS MARPAT 127:341796

IT **169745-21-5**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(endothelin antagonists for treatment of heart failure and ventricular dysfunction)

RN 169745-21-5 CAPLUS

CN 5H-Cyclopenta[b]pyridine-6-carboxylic acid, 5-(1,3-benzodioxol-5-yl)-6,7-dihydro-7-[2-(1H-imidazol-4-ylmethoxy)-4-methoxyphenyl]-, (5.alpha.,6.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
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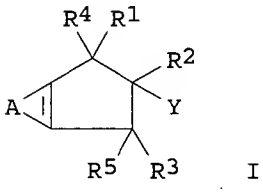


I

AB Cyclopentene derivs. I (R1, R2, R3 = H, OH, alkyl; R4, R5 = Ph, thienyl, pyridyl, indolyl, benzofruanyl, dihydorbenzofuranyl; A = a group that is combined with the adjacent carbon-carbon double bond to form a 5- or 6-membered heteroarom. ring contg. 1-4 heteroatoms selected from the group consisting of nitrogen, oxygen and sulfur; Y = COR6, SO3H, PO3H2, tetrazol-5-yl, 2-oxo-3H-1,2,3,5-oxathiadiazol-4-yl or 5-oxo-4H-1,2,4-oxadiazol-3-yl; R6 = OH, amino, alkoxy, etc.) and their pharmaceutically acceptable salts, having endothelin-antagonist activity, were prepd. Thus, hydrolysis of 6-ethoxycarbonyl-5-(3,4-methylenedioxyphenyl)-7-(4-methoxyphenyl)cyclopenteno[1,2-b]pyridine, prepd. in 5 steps from pyridine-2,3-dicarboxylic anhydride and 4-methoxyphenylmagnesium bromide, with aq. NaOH in MeOH gave (5RS,6SR,7SR)-6-carboxy-5-(3,4-methylenedioxyphenyl)-7-(4-methoxyphenyl)cyclopenteno[1,2-b]pyridine (II). II inhibited endothelin-1 with receptor from bovine aorta.

AN 1995:892827 CAPLUS

DN 124:8792

TI Fused heteroaromatic cyclopentene derivatives having endothelin-antagonist activity

IN Ishikawa, Kiyofumi; Nagase, Toshio; Mase, Toshiaki; Hayama, Takashi; Ihara, Masaki; Nishikibe, Masaru; Yano, Mitsuo

PA Banyu Pharmaceutical Co., Ltd., Japan

SO PCT Int. Appl., 184 pp.
CODEN: PIXXD2

DT Patent

LA Japanese

FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9505374	A1	19950223	WO 1994-JP1357	19940816
	W: AU, CA, CN, JP, KR, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	US 5389620	A	19950214	US 1993-165880	19931214
	AU 9473511	A1	19950314	AU 1994-73511	19940816
	AU 675870	B2	19970220		
	EP 714897	A1	19960605	EP 1994-922382	19940816
	EP 714897	B1	20010214		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1129448	A	19960821	CN 1994-193138	19940816
	CN 1049219	B	20000209		
	AT 199151	E	20010215	AT 1994-922382	19940816
	US 5714479	A	19980203	US 1996-596143	19960220
PRAI	JP 1993-225100	A	19930818		

	JP 1993-281613	A	19931015
	JP 1993-285677	A	19931021
	US 1993-165880	A	19931214
	JP 1994-85914	A	19940330
	WO 1994-JP1357	W	19940816
	US 1994-296410	B2	19940826

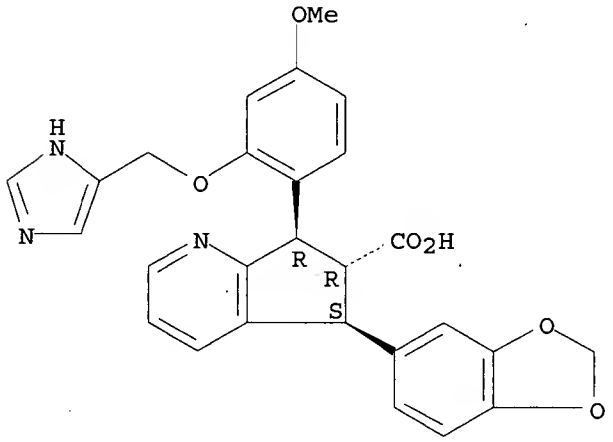
OS MARPAT 124:8792

IT **169745-21-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused heteroarom. cyclopentene derivs. as endothelin antagonists)

RN 169745-21-5 CAPLUS

CN 5H-Cyclopenta[b]pyridine-6-carboxylic acid, 5-(1,3-benzodioxol-5-yl)-6,7-dihydro-7-[2-(1H-imidazol-4-ylmethoxy)-4-methoxyphenyl]-, (5.alpha.,6.beta.,7.alpha.)- (9CI) (CA INDEX NAME)

Relative stereochemistry.



L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN

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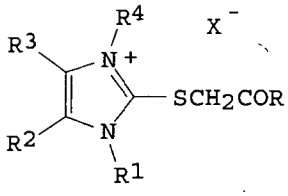


I

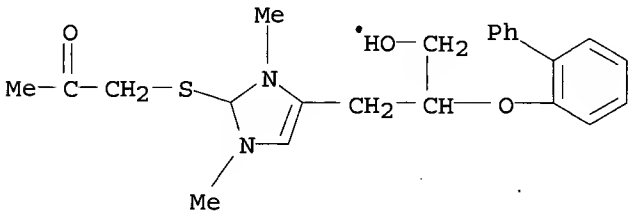
AB Imidazoles and imidazolium salts I [R = H, (substituted) C1-6 alkyl, C3-6 cycloalkyl, (substituted) benzyl, pyridyl, etc.; R1 = (substituted) C1-6 alkyl, ArCnH2n; Ar = (substituted) Ph; n = 1-3; R2 = NO2, halo, cyano, OH, (substituted) Ph, etc.; R3 = H or R3 = R2 when R2 = (substituted) Ph, or R2R3 = (substituted C3-10 alkylene or benzo; R4 = C1-6 alkyl, ArCnH2n; n,

Ar = defined above; or R4 = absent; X = neg. ion of pharmaceutically acceptable salt when R4 is present], useful, for example, as antithrombotics (no data), were prepd. Thus, 1-methylbenzimidazole-2-thiol (prepn. given) was dissolved in Me2CO and ClCH2COMe was added. Then, Et3N was added dropwise with stirring and the mixt. was stirred overnight at room temp. to give imidazole I (R = R1 = Me, R2R3 = benzo, R4 is absent). Sixty-nine I had IC50's against transglutaminase Factor XIIIa of < 2 .times. 10-5 M.

AN 1991:471598 CAPLUS
DN 115:71598
TI Preparation of imidazoles as transglutaminase inhibitors
IN Baldwin, John J.; Remy, David C.; Claremon, David A.
PA Merck and Co., Inc., USA
SO Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 411705	A1	19910206	EP 1990-202069	19900727
	R: CH, DE, FR, GB, IT, LI, NL				
	US 5030644	A	19910709	US 1989-386641	19890731
	CA 2022116	AA	19910201	CA 1990-2022116	19900727
	JP 03128359	A2	19910531	JP 1990-203781	19900731
	US 5098707	A	19920324	US 1991-692430	19910429
PRAI	US 1989-386641		19890731		

OS MARPAT 115:71598
IT **134218-32-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as transglutaminase inhibitor)
RN 134218-32-9 CAPLUS
CN 1H-Imidazolium, 4-[2-([1,1'-biphenyl]-2-yloxy)-3-hydroxypropyl]-1,3-dimethyl-2-[(2-oxopropyl)thio]-, chloride (9CI) (CA INDEX NAME)



● Cl⁻

*** FRAGMENT DIAGRAM IS INCOMPLETE ***

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2003 ACS on STN
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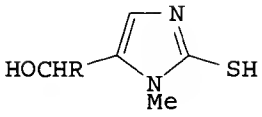

I

AB 2-Mercapto-1-methylimidazole is directly metalated with Me3CLi in THF to give the 5,S-dianion. Reaction of the dianion with a series of electrophiles gives regioselectively 5-substituted-2-mercapto-1-methylimidazoles. Thus, reaction ot the dianion with aldehydes gave 42-88% imidazoles I (R = CMe3, Ph, cyclohexyl, 4-MeC6H4, 3-MeC6H4, 2-MeC6H4, 4-PhC6H4, 4-PhOC6H4, 2-PhOC6H4).

AN 1991:42649 CAPLUS

DN 114:42649

TI Preparation of 5-substituted 2-mercapto-1-methylimidazoles. Direct metalation of 2-mercapto-1-methylimidazole

AU Phillips, Brian T.; Claremon, David A.; Varga, Sandor L.

CS Dep. Med. Chem., Merck Sharp and Dohme Res. Lab., West Point, PA, 19486, USA

SO Synthesis (1990), (9), 761-3
CODEN: SYNTBF; ISSN: 0039-7881

DT Journal

LA English

OS CASREACT 114:42649

IT **131470-65-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 131470-65-0 CAPLUS

CN 2H-Imidazole-2-thione, 5-[3-([1,1'-biphenyl]-2-yloxy)-2-hydroxypropyl]-1,3-dihydro-1-methyl- (9CI) (CA INDEX NAME)